WEST

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L9: Entry 18 of 20 File: DWPI May 7, 1992

DERWENT-ACC-NO: 1992-160003
DERWENT-WEEK: 199220

TITLE: Substd. 4-oxo-4H-benzopyran -3-O-beta-D-glucuronide derivs. prepn. - by converting myricetin to di:benzyl-myricetin-tetra:ethanoate which is saponified and then beta glycoside(s) and hydrogenated

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PATENT-ASSIGNEE:

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PLANTAMED ARZNEIMITTEL GMBH	PLANN

PRIORITY-DATA: 1990DE-4034586 (October 31, 1990)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
DE 4034586 A	May 7, 1992		028	
DE 4034586 C2	February 4, 1993		023	C07H017/07

APPLICATION-DATA:

PUB-NO	APPL-DATE	APPL-NO	DESCRIPTOR
DE 4034586A	October 31, 1990	1990DE-4034586	
DE 4034586C2	October 31, 1990	1990DE-4034586	

INT-CL (IPC): C07H 17/07

ABSTRACTED-PUB-NO: DE 4034586A

BASIC-ABSTRACT:

Prepn. of (3,5,7-trihydroxy-2- (3',4',5'-trihydroxyphenyl) -4-oxo-4H-benzopyran)-3-0-beta-D- glucuronide derivs. (Ia) of formula (I) or their salts (Ib) or complexes (Ic) comprises (a) reacting Myricetin with ethanoic acid anhydride and pyridine in hot aq. soln. to give (3,5,7-trihydroxy-2-(3',4',5'-trihydroxyphenyl)-4-oxo-4H-benzopyran) -3,3',4',5,5',7-hexa ethanoate (Myricetin hexaethanoate) of formula (II), (b) benzylating (III) with benzylchloride in the presence of KI and K2CO3 in solvent to give diobenzylmyricetin tetraethanoate of formula (III), (c) saponifying (III) with Na methanolate soln. and pptg. with conc. HCl to give dibenzylmyricetin of formula (IV), (d) beta-glycosidising (IV) by a Koenigs-Knorr reaction to give (3,5-dihydroxy-4',7'dibenzyl oxy-2-(3',5'-dihydroxyphenyl)- 4-oxo-4H-benzopyran)-3-0-(2,3,4-tri- o-benzyl)-beta-D-glucopyranosiduronic acid benzyl ester of formula (V) and (e) hydrogenating (V) by replacing the benzyl gps. and ethanoyl gps. to give (Ia), which can be converted to its salt (Ia) or complex (Ic).

In (II) R and R1 = COMe, cpd. (III) is cpd. (II) where R = COMe and R1 = PhCH2-, cpd. (IV) is cpd. (II) where R = H and R1 = PhCH2- and cpd. (V) is cpd. (II) where R = H,

R1 and R2 = PhCH2-. In (I) R1 and R2 = H and X and Y = H (Ia) or Y = H and X = M+ or M2+ (Ib) or Y = M2+ or M3+ and X = H (Ic).

USE/ADVANTAGE - (I) inhibits metabolism of arachidonic acid and can esp. be used to inhibit prostaglandin synthesis and/or liberation in the treatment of illnesses associated with the liberation of arachidonic acid metabolites. Synthetic pathway for the prodn. of (I) is obtd. so using extracts of Epilobium argustifolium L which contains (I) is avoided. Pure (I) was found to be approx. 5 times more effective than Indometacin when tested on experimental acute inflammations (rat paw oedema with carrageenin). Variant described is more economical as fewer steps are involved.
ABSTRACTED-PUB-NO:

DE 4034586C
EQUIVALENT-ABSTRACTS:

(5,7-dihydroxy -2-(3',4',5' -trihydroxyphenyl) -4-oxo-4H-benzopyran)-3-O-b- eta-D -glucuronide of formula (I), its salts and complexes is prepd. from myricetin of formula (II): R1-R6 = H). The process comprises (a) reacting myricetin with acetaic acid anhydride and pyridine in hot aq. soln. to form the hexa acetate (II): R1-R6 = COCH3); (b) benzylation of the hexa acetate with benzylchloride in the presence of KI and K2CO3 in solvent to form the dibenzyl tetraacetate (II): R1,R5 benzyl; R2,R3,R4,R6 = COCH3); (C) hydrolysis with sodium methanolate and pptn. with concn. HCl to form the dibenzyl myricetin (II: R1,R5 = benzyl; R2, R3, R4, R6 = H); (d) beta glycosidation with a cpd. ClX; X = gp. of formula (III): R7-10 = benzyl) to form the deriv. (II: R3 = X; R2, R4, R6 = H); and or BrX (x = gp. of formula (III); R7-R9 = COCH3 or COC2H5; R10=CH3 or C2H5; and ()e) hydrogenation to give (I).

USE/ADVANTAGE - (I) is present in aq. extracts of Epilobium angustifolium. and it inhibits prostaglandin biosynthesis.

CHOSEN-DRAWING: Dwg.0/0 Dwg.0/0

TITLE-TERMS: SUBSTITUTE OXO BENZOPYRAN BETA GLUCURONIDE DERIVATIVE PREPARATION CONVERT MYRICETIN DI BENZYL MYRICETIN TETRA SAPONIFICATION BETA GLYCOSIDE HYDROGENATION

ADDL-INDEXING-TERMS:
ACETATE

DERWENT-CLASS: B02

CPI-CODES: B06-A01; B12-D07; B12-G01;

CHEMICAL-CODES:

Chemical Indexing M2 *01*
Fragmentation Code
D014 D023 D120 F012 F013 F014 F015 F016 F123 G017
G100 H4 H405 H423 H444 H5 H521 H8 J0 J011
J1 J111 J5 J521 K0 L8 L814 L821 L832 M1
M113 M126 M141 M280 M320 M412 M511 M521 M531 M540
M630 M720 M903 M904 N209 N225 N241 N262 N309 N341
N342 N362 N412 P420 P617
Markush Compounds
199220-02101-P

Chemical Indexing M2 *02*
Fragmentation Code
D013 D023 D120 G010 G017 G019 G100 H403 H443 H5
H542 H543 H8 J013 J242 J5 J521 M1 M113 M210
M211 M262 M282 M311 M321 M322 M342 M373 M391 M392
M412 M511 M520 M532 M533 M540 M710 M903 M904
Markush Compounds
199220-02102-N

UNLINKED-DERWENT-REGISTRY-NUMBERS: 0710S; 0840S ; 0916S ; 1068S ; 1391S ; 1715S

SECONDARY-ACC-NO:
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